Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2525	(514/415,418,419).CCLS.	US-PGPUB; USPAT	OR	OFF	2005/10/28 14:53
L2	261	L1 and hiv	US-PGPUB; USPAT	OR	OFF	2005/10/28 14:54
L3	11	(("4866084") or ("5124327") or ("5489685") or ("5527819") or ("5830894") or ("5852011") or ("5929114") or ("5935982") or ("5945440") or ("5981525") or ("6025390")).PN.	USPAT	OR	OFF	2005/10/28 14:55

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     FILE 'REGISTRY' ENTERED AT 12:39:22 ON 28 OCT 2005
L1              STRUCTURE UPLOADED
L2            7 S L1
L3          294 S L1 FULL

     FILE 'MEDLINE, HCAPLUS, USPATFULL' ENTERED AT 12:40:43 ON 28 OCT 2005
L4           44 S L3
L5           38 DUP REM L4 (6 DUPLICATES REMOVED)
L6           23 S L5 AND HIV

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L7              STRUCTURE UPLOADED
L8           26 S L7
L9          658 S L7 FULL

     FILE 'MEDLINE, HCAPLUS, USPATFULL' ENTERED AT 13:10:30 ON 28 OCT 2005
L10         126 S L9
L11          29 S L10 AND HIV
L12          26 DUP REM L11 (3 DUPLICATES REMOVED)
L13           3 S L12 NOT L6
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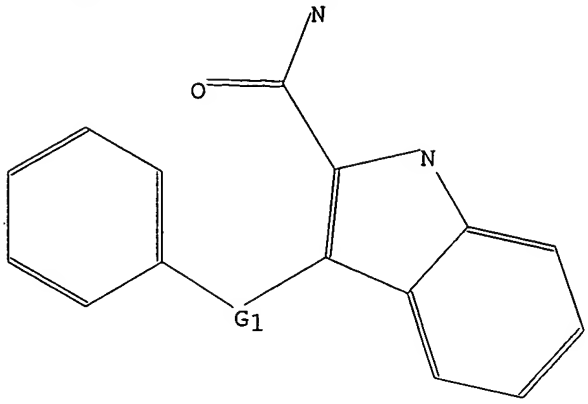

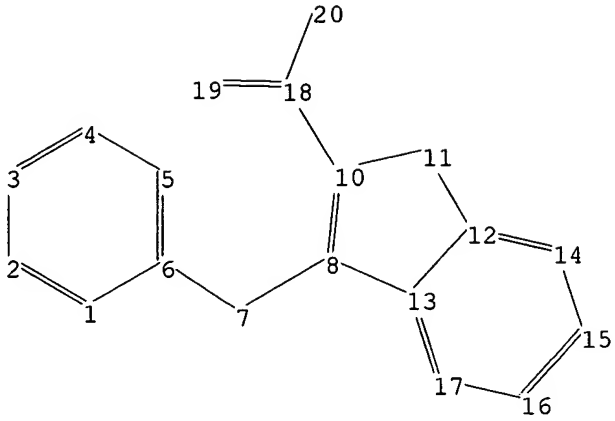

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7 18 19 20
ring nodes :
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6-7 7-8 10-18 18-19 18-20
ring bonds :
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15-16 16-17
exact/norm bonds :
6-7 7-8 8-10 8-13 10-11 11-12 18-19 18-20
exact bonds :
10-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-14 13-17 14-15 15-16 16-17

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

L6 ANSWER 1 OF 23 MEDLINE on STN
AN 93387123 MEDLINE
DN PubMed ID: 7690697
TI Biotransformation of 5-chloro-3-phenylthioindole-2-carboxamide (L-734,005) in rhesus monkeys and rat liver microsomes to a potent **HIV**-1 reverse transcriptase inhibitor.
AU Balani S K; Goldman M E; Kauffman L R; Varga S L; O'Brien J A; Smith S J; Olah T V; Ramjit H G; Schorn T W; Pitzenberger S M; +
CS Department of Drug Metabolism, Merck Research Laboratories, West Point, PA 19486.
SO Drug metabolism and disposition: biological fate of chemicals, (1993 Jul-Aug) 21 (4) 598-604.
Journal code: 9421550. ISSN: 0090-9556.
CY United States
DT Journal; Article; (JOURNAL ARTICLE)
LA English
FS Priority Journals; AIDS
EM 199310
ED Entered STN: 19931105
Last Updated on STN: 19970203
Entered Medline: 19931015
AB Rhesus monkeys were dosed orally with 10 mg/kg 5-chloro-3-phenylthioindole-2-carboxamide (L-734,005), a nonnucleoside human immunodeficiency virus type 1 (**HIV**-1) reverse transcriptase inhibitor, in polyethylene glycol 300. Plasma samples from these monkeys demonstrated greater bioactivity in an **HIV**-1 reverse transcriptase inhibition assay than anticipated from the parent compound concentrations as determined by an HPLC-UV assay. One major and three minor metabolites, as well as the parent compound, were detected in the plasma. One of the minor metabolites was determined to be several-fold more active, and the major metabolite one-half as active as the parent compound in the inhibition assay. Identical metabolites were formed during an incubation of L-734,005 with rat liver microsomes. The most active minor metabolite was identified as a sulfone analog (L-737,126) of the parent compound by NMR and MS analyses. The less active major metabolite and two relatively inactive minor metabolites were similarly identified as the sulfoxide, 4-hydroxythiophenyl and 6-hydroxyindole analogs of L-734,005. The synthetic sulfone analog was highly potent against **HIV**-1, with a 95% inhibitory concentration of 3.0 nM for the spread of virus infection in a cell culture.

L6 ANSWER 2 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:99157 HCAPLUS
DN 142:170033
TI Methods and compositions for the treatment or prevention of human immunodeficiency virus and related conditions using cyclooxygenase-2 selective inhibitors and antiviral agents
IN Maziasz, Timothy
PA USA
SO U.S. Pat. Appl. Publ., 172 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

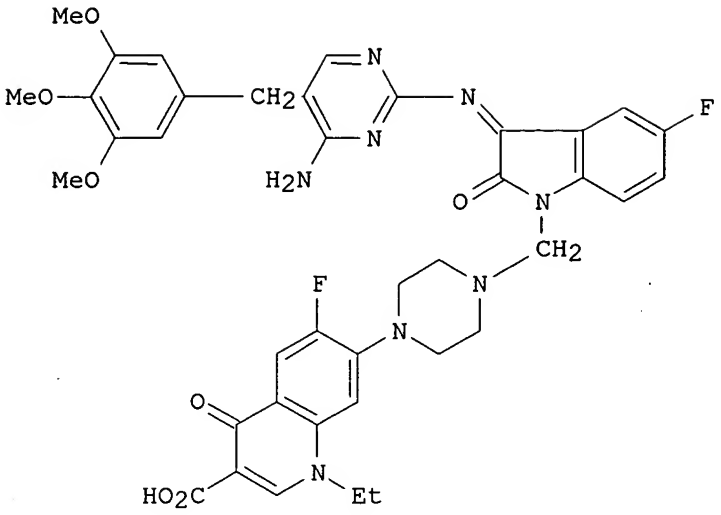
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005026902	A1	20050203	US 2004-769485	20040130
PRAI US 2003-443910P	P	20030131		

OS MARPAT 142:170033
AB The present invention provides compns. and methods for the treatment of human immunodeficiency virus (**HIV**) infection as well as **HIV** associated diseases and related disorders. More particularly, the invention provides a combination therapy for the treatment of **HIV** infection as well as **HIV** associated diseases and related disorders comprising the administration to a subject of an anti-human immunodeficiency virus agent in combination with a cyclooxygenase-2 selective inhibitor or an isomer or a pharmaceutically

acceptable salt, ester, or prodrug thereof.

L6 ANSWER 3 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:1086459 HCAPLUS
DN 142:147844
TI Docking and 3-D QSAR Studies on Indolyl Aryl Sulfones. Binding Mode Exploration at the **HIV**-1 Reverse Transcriptase Non-Nucleoside Binding Site and Design of Highly Active N-(2-Hydroxyethyl)carboxamide and N-(2-Hydroxyethyl)carbohydrazide Derivatives
AU Ragno, Rino; Artico, Marino; De Martino, Gabriella; La Regina, Giuseppe; Coluccia, Antonio; Di Pasquali, Alessandra; Silvestri, Romano
CS Istituto PasteurFondazione Cenci Bolognetti, Dipartimento di Studi Farmaceutici and Dipartimento di Studi di Chimica e Tecnologia delle Sostanze Biologicamente Attive, Universita di Roma La Sapienza, Rome, I-00185, Italy
SO Journal of Medicinal Chemistry (2005), 48(1), 213-223
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:147844
AB Three-dimensional quant. structure-activity relationship (3-D QSAR) studies and docking simulations were developed on indolyl aryl sulfones (IASs), a class of novel **HIV**-1 non-nucleoside reverse transcriptase (RT) inhibitors (Silvestri, et al. J. Med. Chemical 2003, 46, 2482-2493) highly active against wild type and some clin. relevant resistant strains (Y181C, the double mutant K103N-Y181C, and the K103R-V179D-P225H strain, highly resistant to efavirenz). Predictive 3-D QSAR models using the combination of GRID and GOLPE programs were obtained using a receptor-based alignment by means of docking IASs into the non-nucleoside binding site (NNBS) of RT. The derived 3-D QSAR models showed conventional correlation (r2) and cross-validated (q2) coeffs. values ranging from 0.79 to 0.93 and from 0.59 to 0.84, resp. All described models were validated by an external test set compiled from previously reported pyrryl aryl sulfones (Artico, et al. J. Med. Chemical 1996, 39, 522-530). The most predictive 3-D QSAR model was then used to predict the activity of novel untested IASs. The synthesis of six designed derivs. (prediction set) allowed disclosure of new IASs endowed with high anti-**HIV**-1 activities.
RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:891798 HCAPLUS
DN 142:32451
TI Design, synthesis and biological evaluation of novel non-nucleoside **HIV**-1 reverse transcriptase inhibitors with broad-spectrum chemotherapeutic properties
AU Sriram, Dharmarajan; Bal, Tanushree Ratan; Yogeeswari, Perumal
CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science, Pilani, 333031, India
SO Bioorganic & Medicinal Chemistry (2004), 12(22), 5865-5873
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 142:32451
GI



I

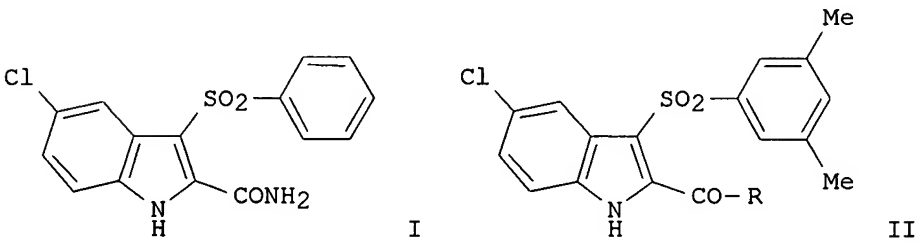
AB Acquired immunodeficiency syndrome (AIDS) results from infection by the retrovirus, human immunodeficiency virus (**HIV**). **HIV** is the most significant risk factor for many opportunistic infections like tuberculosis, hepatitis, bacterial infections, etc. In this paper, the authors designed aminopyrimidinimino isatin lead compound as a novel nonnucleoside reverse transcriptase inhibitor with broad-spectrum chemotherapeutic properties for the effective treatment of AIDS and AIDS-related opportunistic infections. Compound 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7[[N4-[3'-(4'-amino-5'-trimethoxybenzylpyrimidin-2'-yl)imino-1'-(5-fluoroisatinyl)]methyl]-N1-piperazinyl]-3-quinoline carboxylic acid (I) emerged as the most potent broad-spectrum chemotherapeutic agent active against **HIV**, HCV, Mycobacterium tuberculosis and various pathogenic bacteria.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:783682 HCAPLUS
DN 142:336615
TI Simple, short peptide derivatives of a sulfonylindolecarboxamide (L-737,126) active in vitro against **HIV**-1 wild type and variants carrying non-nucleoside reverse transcriptase inhibitor resistance mutations. [Erratum to document cited in CA141:207520]
AU Silvestri, Romano; Artico, Marino; De Martino, Gabriella; Le Regina, Giuseppe; Loddo, Roberta; La Colla, Massimiliano; Mura, Massimo; La Colla, Paolo
CS Inst. Pasteur-Fondazione Cenci Bolognetti, Dip. di Studi Farmaceutici, Univ. di Roma "La Sapienza, Rome, I-00185, Italy
SO Journal of Medicinal Chemistry (2004), 47(22), 5592
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Massimo Mura (Universita di Cagliari) is added as the seventh author. The corresponding authors are Romano Silvestri and Paolo La Colla.

L6 ANSWER 6 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:552635 HCAPLUS
DN 141:207520
TI Simple, Short Peptide Derivatives of a Sulfonylindolecarboxamide (L-737,126) Active in Vitro against **HIV**-1 Wild Type and Variants Carrying Non-Nucleoside Reverse Transcriptase Inhibitor Resistance Mutations
AU Silvestri, Romano; Artico, Marino; De Martino, Gabriella; La Regina,

Giuseppe; Loddo, Roberta; La Colla, Massimiliano; La Colla, Paolo
CS Inst. Pasteur-Fondazione Cenci Bolognetti, Dip. di Studi Farmaceutici, Univ. di Roma "La Sapienza", Rome, I-00185, Italy
SO Journal of Medicinal Chemistry (2004), 47(15), 3892-3896
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:207520
GI

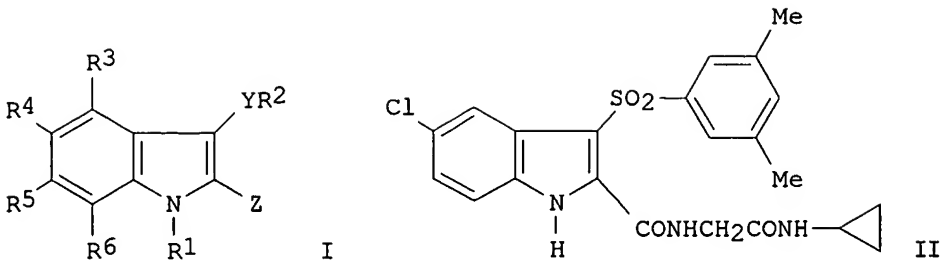


AB Non-nucleoside reverse transcriptase inhibitors (NNRTIs) active against NNRTI-resistant mutants were obtained by introducing two Me groups at positions 3 and 5 of the benzenesulfonyl moiety of L-737,126 (I; R = H) and coupling one to three glycinamide/alaninamide units to its carboxamide function. In cell-based assays, the new peptide derivs. II [R = -Gly-NH2, -Gly-NHNH2, -DL-Ala-NH2, -DL-Ala-NHNH2, -Gly-Gly-NH2, -Gly-Gly-NHNH2, -DL-Ala-Gly-NH2, -Gly-DL-Ala-NH2, -Gly-DL-Ala-NHNH2, -Gly-Gly-Gly-NH2, -Gly-Gly-Gly-NHNH2] showed activities against **HIV**-1 wild type and NNRTI-resistant mutants [Y181C, K103N-Y181C, and triple mutant (K103R, V179D, P225H) highly resistant to efavirenz] superior to that of I.
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:142949 HCAPLUS
DN 140:181324
TI Preparation of substituted indoles for the treatment of **HIV**
IN Sommadossi, Jean-pierre; La Colla, Paolo; Artico, Marino; Storer, Richard; Moussa, Adel M.
PA Idenix (Cayman) Limited, Cayman I.; Universita Degli Studi di Cagliari
SO PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014364	A1	20040219	WO 2003-US24957	20030807
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004180945	A1	20040916	US 2003-637949	20030807
	EP 1545510	A1	20050629	EP 2003-785103	20030807
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-401915P	P	20020807		
	WO 2003-US24957	W	20030807		

OS MARPAT 140:181324
GI

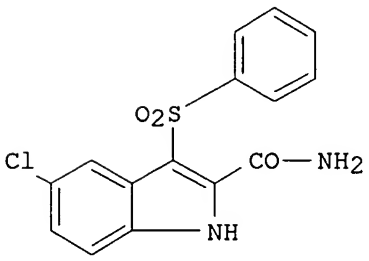


AB Indoles I [Y = O, S, S(O), SO2; Z = (un)substituted acyl, CONH2, CSNH2, C(:NH)NH2, amino acid residue; R1 = H, acyl, thioacyl, (un)substituted CO2H, C(S)OH, COSH, CONH2, CSNH2, C(:NH)NH2; R2 = (un)substituted Ph; R3-R6 = H, F, Cl, Br, I, NO2, CN, CF3, (un)substituted OH, SH, NH2, alkyl, alkenyl, alkynyl, acyl,thioacyl, CO2H, C(S)OH, COSH, CONH2, CSNH2, C(:NH)NH2] that exhibit significant activity against resistant strains of **HIV** (no data) were prepared Thus, the amide II was obtained by treating the corresponding Et ester with cyclopropylamine.
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:136159 HCAPLUS
DN 140:385502
TI A multivariate analysis on non-nucleoside **HIV**-1 reverse transcriptase inhibitors and resistance induced by mutation
AU Almerico, Anna Maria; Lauria, Antonino; Tutone, Marco; Diana, Patrizia; Barraja, Paola; Montalbano, Alessandra; Cirrincione, Girolamo; Dattolo, Gaetano
CS Dipartimento Farmacochimico, Tossicologico e Biologico, Universita degli Studi, Palermo, 90123, Italy
SO QSAR & Combinatorial Science (2003), Volume Date 2004, 22(9-10), 984-996
CODEN: QCSSAU; ISSN: 1611-020X
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
AB This paper describes the use of multivariate statistical procedure PCA as a tool to explore the inhibitory activity of classes of NNRTIs against **HIV**-1 viruses (wild type and more frequent mutants, Y181C, V106A, K103N, L100I) and against RT enzyme. The anal. of correlations between biol. activity and mol. descriptors or similarity indexes allowed a reliable classification of the fifty five derivs. considered in this study. The best results were obtained in the case of L100I and K103N mutants for which the higher number of assignments was found when the principal components derived from the descriptors were used. On this basis this statistical approach is proposed as a reliable method for the prediction of the activity of NNRTIs, for which the data against mutant strains have not been reported.
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:347096 HCAPLUS
DN 139:30181
TI Novel Indolyl Aryl Sulfones Active against **HIV**-1 Carrying NNRTI Resistance Mutations: Synthesis and SAR Studies
AU Silvestri, Romano; De Le Martino, Gabriella; La Regina, Giuseppe; Artico, Marino; Massa, Silvio; Vargiu, Laura; Mura, Massimo; Loi, Anna Giulia; Marceddu, Tiziana; La Colla, Paolo
CS Istituto Pasteur - Fondazione Cenci Bolognetti, Dipartimento di Studi Farmaceutici, Universita di Roma "La Sapienza", Rome, I-00185, Italy

SO Journal of Medicinal Chemistry (2003), 46(12), 2482-2493
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:30181
GI



I

AB The potent anti-**HIV**-1 activities of L-737,126 (I) and PAS sulfones prompted us to design and test against **HIV**-1 in acutely infected MT-4 cells a number of novel 1- and 3-benzenesulfonylindoles. Indoles belonging to the 1-benzenesulfonyl series were found poorly or totally inactive. On the contrary, some of the 3-benzenesulfonyl derivs. turned out to be as potent as I, being endowed with potencies in the low nanomolar concentration range. In particular, (2-methylphenyl)sulfonyl and (3-methylphenyl)sulfonyl derivs. showed EC50 values of 1 nM. Introduction of two Me groups at positions 3 and 5 of the Ph ring of I furnished derivs. which showed very potent and selective anti-**HIV**-1 activity not only against the wt strain, but also against mutants carrying NNRTI-resistant mutations at positions 103 and 181 of the reverse transcriptase gene.
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:813922 HCAPLUS
DN 137:304751
TI Use of Phenylindoles for the treatment of **HIV**
IN La Colla, Paolo; Artico, Marino; Sommadossi, Jean-Pierre
PA Idenix (Cayman) Limited, Cayman I.; Universita Degli Studi Di Cagliari
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002083126	A1	20021024	WO 2002-US11736	20020411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2444501	AA	20021024	CA 2002-2444501	20020411

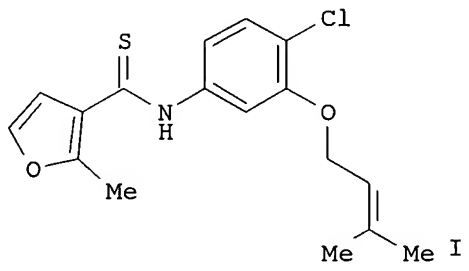
	US 2002193415	A1	20021219	US 2002-122252	20020411
	US 6710068	B2	20040323		
	EP 1390029	A1	20040225	EP 2002-723853	20020411
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002008790	A	20040330	BR 2002-8790	20020411
	US 2004180888	A1	20040916	US 2004-806295	20040322
PRAI	US 2001-283393P	P	20010411		
	US 2002-122252	A3	20020411		
	WO 2002-US11736	W	20020411		

OS MARPAT 137:304751

AB The invention discloses the use of a series of phenylindoles for the treatment of **HIV** in humans and other host animals. The phenylindole compound or a pharmaceutically acceptable salt or prodrug optionally in a pharmaceutically acceptable carrier can be administered to the subjects in need of an effective **HIV** treatment. The compds. of the invention either possess antiviral (i.e., anti-**HIV**) activity, or are metabolized to a compound that exhibits such activity.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:414525 HCAPLUS
DN 137:163365
TI A tight-binding mode of inhibition is essential for anti-human immunodeficiency virus type 1 virucidal activity of nonnucleoside reverse transcriptase inhibitors
AU Motakis, Dimitrios; Parniak, Michael A.
CS Sir Mortimer B. Davis-Jewish General Hospital, Lady Davis Institute for Medical Research and McGill University AIDS Center, Montreal, QC, H3T 1E8, Can.
SO Antimicrobial Agents and Chemotherapy (2002), 46(6), 1851-1856
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
GI



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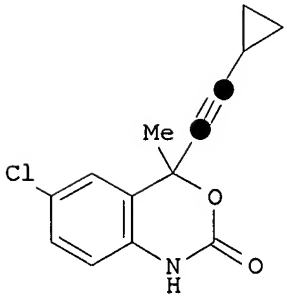
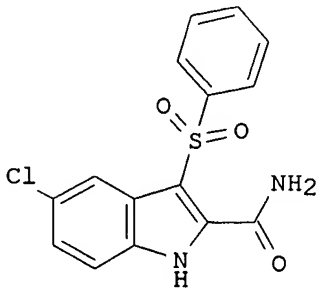


II



III

AB It was previously found that certain nonnucleoside reverse transcriptase inhibitors (NNRTI) possess virucidal activity against human immunodeficiency virus type 1 (**HIV**-1), and it was suggested that the tight-binding mode of inhibition of reverse transcriptase might be

important for this virucidal activity. To test this, we compared six different NNRTI, including three tight-binding NNRTI, namely UC781 (I), efavirenz (EFV) (Sustiva) (II), and 5-chloro-3-phenylsulfonylindole-2-carboxamide (CSIC) (III), and three rapid-equilibrium NNRTI, delavirdine (DLV) (Rescriptor), nevirapine (NVP) (Viramune), and UC84, in a variety of virucidal tests. Incubation of isolated **HIV**-1 virions with I, II, or III rapidly inactivated the virus, whereas DLV, NVP, and UC84 were ineffective in this respect. Exposure of H9+ cells chronically infected by **HIV**-1 to the tight-binding NNRTI abolished the infectivity of nascent virus subsequently produced by these cells following removal of extracellular drug, thereby preventing cell-to-cell virus transmission in the absence of exogenous drug. In contrast, cell-to-cell transmission of **HIV** was blocked by DLV, NVP, and UC84 only when the drug remained in the extracellular medium. Pretreatment of uninfected lymphocytoid cells with I, II, or III, but not DLV, NVP, or UC84, protected these cells from subsequent **HIV**-1 infection in the absence of extracellular drug. The protective effect was dependent on both the dose of NNRTI and the viral load. The overall virucidal efficacy of the tight-binding NNRTI tested was III > I .simeq. II. We conclude that the tight-binding mode of inhibition is an essential characteristic for virucidal NNRTI and that antiviral potency is an insufficient predictor for virucidal utility of NNRTI.

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:205401 HCAPLUS
DN 128:289776
TI Synthesis and biological evaluation of 5H-indolo[3,2-b][1,5]benzothiazepine derivatives, designed as conformationally constrained analogs of the human immunodeficiency virus type 1 reverse transcriptase inhibitor L-737,126
AU Silvestri, R.; Artico, M.; Bruno, B.; Massa, S.; Novellino, E.; Greco, G.; Marongiu, M. E.; Pani, A.; De Montis, A.; La Colla, P.
CS Dipartimento Studi Farmaceutici, Universita Roma 'La Sapienza', Rome, I-00185, Italy
SO Antiviral Chemistry & Chemotherapy (1998), 9(2), 139-148
CODEN: ACCHEH; ISSN: 0956-3202
PB International Medical Press
DT Journal
LA English
AB The reaction of aryldisulfides with Et esters of indole-2-carboxylic acids furnished Et 3-arylthioindole-2-carboxylates in the presence of sodium hydride, which cyclized intramolecularly to afford 5H-indolo[3,2-b][1,5]benzothiazepin-6(7H)-ones or hydrolyzed in alkaline medium to give 3-arylthioindole-2-carboxylic acids. These acids, also obtained by the action of aryldisulfides on indole-2-carboxylic acids, afforded tetracyclic 5H-indolo[3,2-b][1,5]benzothiazepin-6(7H)-ones upon treatment with EDCI-DMAP. Transformation of cyclic sulfides into the required sulfones was achieved via treatment with hydrogen peroxide or with m-chloroperbenzoic acid. The title derivs. are conformationally constrained analogs of the potent human immunodeficiency virus type 1 (**HIV**-1) reverse transcriptase inhibitor 3-benzenesulfonyl-5-chloroindole-2-carboxamide (L-737,126). Although the indolobenzothiazepine derivs., and the indolyl aryl sulfones used for their synthesis, were endowed with anti-**HIV**-1 activities in the submicromolar and micromolar range, none of them proved more potent than L-737,126.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

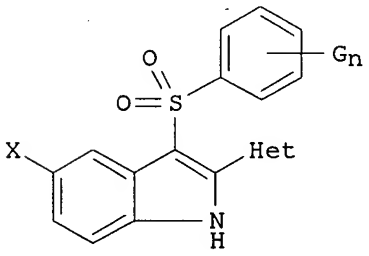
L6 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:75394 HCAPLUS
DN 126:182993
TI Evidence of a butterfly-like configuration of structurally diverse allosteric inhibitors of the **HIV**-1 reverse transcriptase
AU Mager, Peter P.
CS Research Group of Pharmacochemistry, Institute of Pharmacology and

Toxicology of the University, Leipzig, D-04107, Germany
SO Drug Design and Discovery (1996), 14(3), 241-257
CODEN: DDDIEV; ISSN: 1055-9612
PB Harwood
DT Journal
LA English
AB Although many physicochem. properties of chemical diverse non-nucleoside inhibitors of **HIV**-1 reverse transcriptase (NNRTIs) differ, there is a common three-dimensional feature. This shape is a rigid butterfly-like configuration which fits well into a sizable internal cavity of the allosteric area of the enzyme. The number of amino acids of the allosteric receptor sites that contribute to NNRTIs binding correlates with the degree of the butterfly-like shape. It seems that mol. rigidity of the butterfly-like shape, the drug affinity and the probability of resistance development are closely related.

L6 ANSWER 14 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:750614 HCAPLUS
DN 123:143634
TI 3-Substituted heterocyclic indoles as inhibitors of **HIV** reverse transcriptase
IN Britcher, Susan F.; Lumma, William C., Jr.; Young, Steven D.; Grey, Vanessea E.; Tran, Lekhanh O.
PA Merck and Co., Inc., USA
SO Brit. UK Pat. Appl., 46 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 2282808	A1	19950419	GB 1994-20287	19941007
PRAI US 1993-136224	A	19931014		

OS MARPAT 123:143634
GI



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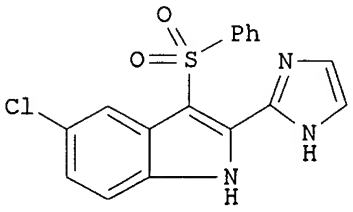


II

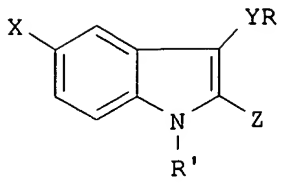
AB Title indoles I [X = halo; G = halo, NO2, cyano, C1-4 alkoxy or alkylamino, sulfonamido, C1-4 alkyl bearing 0-3 halo; n = 0-5; Het = stable 4- to 6-membered (un)saturated monocyclic heterocycle with 1-4 of N, O, S, and P, optionally oxidized at N or S and/or substituted] and their pharmaceutically acceptable salts are useful as inhibitors of **HIV** reverse transcriptase (including resistant varieties). Claims cover the compds., inhibition of **HIV** reverse transcriptase, prevention or treatment of **HIV** infection/AIDS/ARC, pharmaceutical compns. containing I, and synergistic combinations of a selected I, namely L-747,655, with other antivirals. For example, 5-chloro-2-(ethoxycarbonyl)-3-(phenylsulfonyl)indole underwent reduction of the ethoxycarbonyl group to hydroxymethyl using LiAlH4, reoxidn. of the hydroxymethyl group to a formyl group using pyridinium dichromate, and cyclization of the formyl group with glyoxal and excess aqueous NH3, to give imidazole-containing title compound II, i.e. the preferred compd L-747,655. II inhibited incorporation of [3H]-deoxyguanosine monophosphate into cDNA by recombinant **HIV** reverse transcriptase with IC50 of 3.6 nM. II also inhibited spread of **HIV**-1 in cell culture with CIC95 of 1.5 nM.

L6 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:445272 HCAPLUS
DN 123:83233
TI 2-Heterocyclic indole-3-sulfones as inhibitors of **HIV**-1 reverse transcriptase
AU Young, Steven D.; Amblard, Muriel C.; Britcher, Susan F.; Grey, Vanessa E.; Tran, Lee O.; Lumma, William C.; Huff, Joel R.; Schleif, William A.; Emini, Emilio E.; et al.
CS Departments of Medicinal Chemistry, Pharmacology Merck Research Laboratories, West Point, PA, 19486, USA
SO Bioorganic & Medicinal Chemistry Letters (1995), 5(5), 491-6
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
AB A variety of 2-heterocycle substituted 3-phenylsulfonyl-5-chloroindoles were investigated as replacements for the 2-carboxamide functionality of the potent **HIV**-1 reverse transcriptase inhibitor L-737,126. The 2-carboxamide series of compds. typified by L-737,126 have poor solubility Replacement of the carboxamide moiety with a variety of heterocycles results in a series of potent enzyme inhibitors with equivalent ex vivo antiviral activity and improved physicochem. properties.

L6 ANSWER 16 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1994:655644 HCAPLUS
DN 121:255644
TI Indole derivatives as inhibitors of **HIV** reverse transcriptase
IN Williams, Theresa M.; Ciccarone, Terrence M.; Saari, Walfred S.; Wai, John S.; Greenlee, William J.; Balani, Suresh K.; Goldman, Mark E.; Hoffman, Jacob M., Jr.; Lumma, William C., Jr.; et al.
PA Merck and Co., Inc., USA; Theoharides, Sharon, A.
SO PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9419321	A1	19940901	WO 1994-US1694	19940215
	W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2156420	AA	19940901	CA 1994-2156420	19940215
	AU 9462542	A1	19940914	AU 1994-62542	19940215
	BR 9405737	A	19951205	BR 1994-5737	19940215
	EP 686148	A1	19951213	EP 1994-909663	19940215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1119856	A	19960403	CN 1994-191586	19940215
	JP 08507067	T2	19960730	JP 1994-519119	19940215
	HU 74614	A2	19970128	HU 1995-2468	19940215
	PL 175788	B1	19990226	PL 1994-310410	19940215
	US 5527819	A	19960618	US 1995-488957	19950607
	FI 9503954	A	19950823	FI 1995-3954	19950823
	NO 9503308	A	19951024	NO 1995-3308	19950823
PRAI	US 1993-21925	A	19930224		
	US 1991-756013	B2	19910906		
	US 1992-832260	B2	19920207		
	US 1992-866765	B2	19920409		
	WO 1994-US1694	W	19940215		
	US 1994-274101	B1	19940711		

OS MARPAT 121:255644
GI



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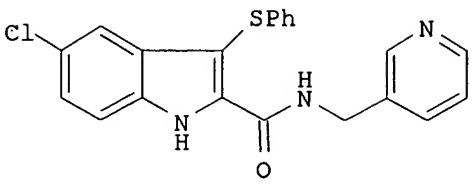


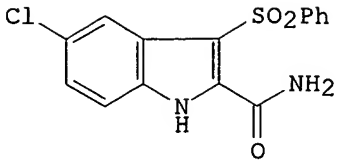
II

AB Novel indole compds. inhibit **HIV** reverse transcriptase (**HIV** RTR), and are useful in the prevention or treatment of infection by **HIV** and in the treatment of AIDS. The described compds. include I [X = H, Cl, F, Br, NO2, cyano, OH, alkoxy, (di)(alkyl)amino, alkylamido, alkylsulfonamido; Y = S, SO, SO2, O; R = (un)substituted alkyl, aryl, heterocyclyl, dialkylamino (except when Y = O); Z = (un)substituted CONH2, CSNH2, alkanoyl, alkoxycarbonyl, aminomethyl, cyano, etc.; R' = H, CHO, acyl, (un)substituted CONH2] and their salts and esters. Approx. 180 I are prepared, listed, and/or claimed. For example, 5-chloroindole-2-carboxylic acid was treated with excess NaH in DMF and then with PhSSPh to give its 3-(phenylthio) derivative, which was amidated with 3-(aminomethyl)pyridine using BOP reagent and Et3N in DMF to give title compound II, a preferred compound I inhibited **HIV** RTR in vitro with IC50 of 3-35 nM for the most preferred compds. I also inhibited viral spread of **HIV** in cell cultures, with 95% inhibitory concns. (CIC95) of 3-400 nM for preferred compds.

L6 ANSWER 17 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:495328 HCAPLUS
DN 119:95328
TI Indoles as inhibitors of **HIV** reverse transcriptase
IN Williams, Theresa M.; Ciccarone, Terrence M.; Saari, Walfred S.; Wai, John S.; Greenlee, William J.; Balani, Suresh K.; Goldman, Mark E.; Theoharides, Anthony D.
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 530907	A1	19930310	EP 1992-202628	19920829
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	WO 9305020	A1	19930318	WO 1992-US7219	19920826
	W: BG, CS, FI, HU, NO, PL, RO, RU				
	EP 678508	A1	19951025	EP 1995-201691	19920829
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CA 2077283	AA	19930307	CA 1992-2077283	19920901
	AU 9222162	A1	19930311	AU 1992-22162	19920904
	AU 656615	B2	19950209		
	ZA 9206708	A	19930428	ZA 1992-6708	19920904
	JP 05208910	A2	19930820	JP 1992-280417	19920907
	JP 2568361	B2	19970108		
	US 5527819	A	19960618	US 1995-488957	19950607
PRAI	US 1991-756013	A	19910906		
	US 1992-832260	A	19920207		
	US 1992-866765	A	19920409		
	EP 1992-202628	A3	19920829		
	US 1993-21925	B1	19930224		
	US 1994-274101	B1	19940711		

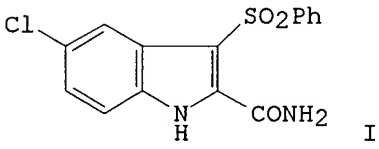
OS MARPAT 119:95328
GI



I

AB Many indole-2-carboxamides and analogs thereof are claimed. These compds. are **HIV** reverse transcriptase inhibitors and claimed for the treatment of AIDS and ARC. The biol. activity of these compds. was not reported. Such a compound is for example 5-chloro-3-(phenylsulfonyl)-1H-indole-2-carboxamide (I).

L6 ANSWER 18 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:449174 HCAPLUS
DN 119:49174
TI 5-Chloro-3-(phenylsulfonyl)indole-2-carboxamide: a novel, non-nucleoside inhibitor of **HIV**-1 reverse transcriptase
AU Williams, Theresa M.; Ciccarone, Terrence M.; MacTough, Suzanne C.; Rooney, Clarence S.; Balani, Suresh K.; Condra, Jon H.; Emini, Emilio A.; Goldman, Mark E.; Greenlee, William J.; et al.
CS Dep. Med. Chem., Merck Res. Lab., West Point, PA, 19486-0004, USA
SO Journal of Medicinal Chemistry (1993), 36(9), 1291-4
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



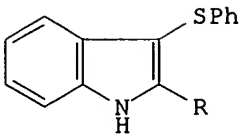
I

AB The 5-chloro-3-phenylthioindole-2-carboxamides represent a novel series of non-nucleoside **HIV**-1 RT inhibitors. The phenylsulfonylindole analog I (L-737,126) is one of the most potent inhibitors of **HIV** -1 RT described to date, both in vitro (IC50 3 nM0 and in cell based assays (CIC95 3 nM). Significant activity against the K103N (IC50 116 nM) and Y181C (IC50 71 nM) mutant RTs is observed Oral bioavailability was determined in rhesus monkeys for a methocel suspension of I. The synthesis and biol. properties of I are described.

L6 ANSWER 19 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1992:524478 HCAPLUS
DN 117:124478
TI Indole **HIV** reverse transcriptase inhibitors
IN Greenlee, William J.; Srinivasan, P. C.
PA Merck and Co., Inc., USA
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5124327	A	19920623	US 1991-755922	19910906
PRAI	US 1991-755922		19910906		

OS MARPAT 117:124478
GI



I

AB Indole derivs. (I, R = PhSOCH2, morpholinomethyl, pyrrolidinomethyl, or CHO), which inhibit **HIV** reverse transcriptase, are prepared Thus, Et indole-2-carboxylate was reduced with LiAlH4 to give 2-hydroxymethylindole which was treated with Ph2S2 to give 2-phenylthiomethylindole and further treatment with Ph2S2 along with NaH gave 3-phenylthio-2-phenylthiomethylindole. Selective S oxidation with monoperoxyphthalic acid Mg salt gave I (R = PhSOCH2).

L6 ANSWER 20 OF 23 USPATFULL on STN
AN 2004:233871 USPATFULL
TI Substituted phenylindoles for the treatment of **HIV**
IN Artico, Marino, Roma, ITALY
LaColla, Paolo, Cagliari, ITALY
Silvestri, Romano, Roma, ITALY
Moussa, Adel, Burlington, MA, UNITED STATES
Sommadossi, Jean-Pierre, Cambridge, MA, UNITED STATES
Storer, Richard, Folkestone, UNITED KINGDOM
PI US 2004180945 A1 20040916
AI US 2003-637949 A1 20030807 (10)
PRAI US 2002-401915P 20020807 (60)
DT Utility
FS APPLICATION
LREP KING & SPALDING LLP, 191 PEACHTREE STREET, N.E., ATLANTA, GA, 30303-1763
CLMN Number of Claims: 62
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 4223
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention is in the area of phenylindoles that are useful for the treatment of **HIV** infection, and, in particular, phenylindoles that exhibit significant activity against resistant strains of **HIV**. The phenylindoles have at least two substituents other than hydrogen on the benzo ring of the indole function, preferably at the 4' and 5', 5' and 6' or the 5' and 7' positions, optionally in combination with disubstitution at positions 3" and 5" on the phenyl ring of the compound, and carboxamide containing moieties at position-2 on the indole group of the compound. Methyl is a preferred group for substitution on the phenyl ring. Preferred substituents for the benzo ring of the indole function include but are not limited to chlorine, fluorine, bromine, iodine, CF.sub.3, methoxy, CN, and NO.sub.2.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 21 OF 23 USPATFULL on STN
AN 2004:233814 USPATFULL
TI Phenylindoles for the treatment of **HIV**
IN LaColla, Paulo, Cagliari, ITALY
Artico, Marino, Roma, ITALY
Sommadossi, Jean-Pierre, Cambridge, MA, UNITED STATES
PA IDENIX PHARMACEUTICALS INC. (non-U.S. corporation)
PI US 2004180888 A1 20040916
AI US 2004-806295 A1 20040322 (10)
RLI Division of Ser. No. US 2002-122252, filed on 11 Apr 2002, GRANTED, Pat. No. US 6710068
PRAI US 2001-283393P 20010411 (60)
DT Utility
FS APPLICATION
LREP Sherry M. Knowles, 45th Floor, 191 Peachtree Street, N.E., Atlanta, GA,

30303
CLMN Number of Claims: 29
ECL Exemplary Claim: 1
DRWN 6 Drawing Page(s)
LN.CNT 3061
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention as disclosed herein is a method and composition for the treatment of **HIV** in humans and other host animals, that includes the administration of an effective **HIV** treatment amount of a phenylindole as described herein or a pharmaceutically acceptable salt or prodrug thereof, optionally in a pharmaceutically acceptable carrier. The compounds of this invention either possess antiviral (i.e., anti-**HIV**) activity, or are metabolized to a compound that exhibits such activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 22 OF 23 USPATFULL on STN
AN 2002:338048 USPATFULL
TI Phenylindoles for the treatment of **HIV**
IN LaColla, Paulo, Capoterra, ITALY
Artico, Marino, Roma, ITALY
Sommadossi, Jean-Pierre, Cambridge, MA, UNITED STATES
PI US 2002193415 A1 20021219
US 6710068 B2 20040323
AI US 2002-122252 A1 20020411 (10)
PRAI US 2001-283393P 20010411 (60)
DT Utility
FS APPLICATION
LREP KING & SPALDING, 191 PEACHTREE STREET, N.E., ATLANTA, GA, 30303-1763
CLMN Number of Claims: 29
ECL Exemplary Claim: 1
DRWN 6 Drawing Page(s)
LN.CNT 3062
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention as disclosed herein is a method and composition for the treatment of **HIV** in humans and other host animals, that includes the administration of an effective **HIV** treatment amount of a phenylindole as described herein or a pharmaceutically acceptable salt or prodrug thereof, optionally in a pharmaceutically acceptable carrier. The compounds of this invention either possess antiviral (i.e., anti-**HIV**) activity, or are metabolized to a compound that exhibits such activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 23 OF 23 USPATFULL on STN
AN 96:53334 USPATFULL
TI Inhibitors of **HIV** reverse transcriptase
IN Williams, Theresa M., Harleysville, PA, United States
Ciccarone, Terrence M., East Greenville, PA, United States
Saari, Walfred S., Lansdale, PA, United States
Wai, John S., Harleysville, PA, United States
Greenlee, William J., Teaneck, NJ, United States
Balani, Suresh K., Hatfield, PA, United States
Goldman, Mark E., San Diego, CA, United States
Theoharides, deceased, Anthony D., late of Lansdale, PA, United States
by Sharon A. Theoharides, executrix
Hoffman, Jr., Jacob M., Landsale, PA, United States
Lumma, Jr., William C., Pennsburg, PA, United States
Huff, Joel R., Gwynedd Valley, PA, United States
Rooney, Clarence S., Worcester, PA, United States
Sanderson, Philip E., Philadelphia, PA, United States
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI US 5527819 19960618
AI US 1995-488957 19950607 (8)
RLI Continuation of Ser. No. US 1994-274101, filed on 11 Jul 1994, now abandoned which is a continuation of Ser. No. US 1993-21925, filed on 24

Feb 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-866765, filed on 9 Apr 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-832260, filed on 7 Feb 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-756013, filed on 6 Sep 1991, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Ivy, C. Warren; Assistant Examiner: Davis, Zinna N.

LREP Quagliato, Carol S., Meredith, Roy D., Caruso, Charles M.

CLMN Number of Claims: 9

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2678

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel indole compounds inhibit **HIV** reverse transcriptase, and are useful in the prevention or treatment of infection by **HIV** and the treatment of AIDS, either as compounds, pharmaceutically acceptable salts, pharmaceutical composition ingredients, whether or not in combination with other antivirals, anti-infectives, immunomodulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by **HIV** are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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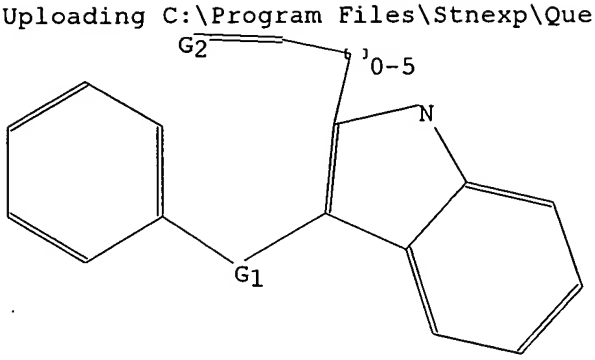

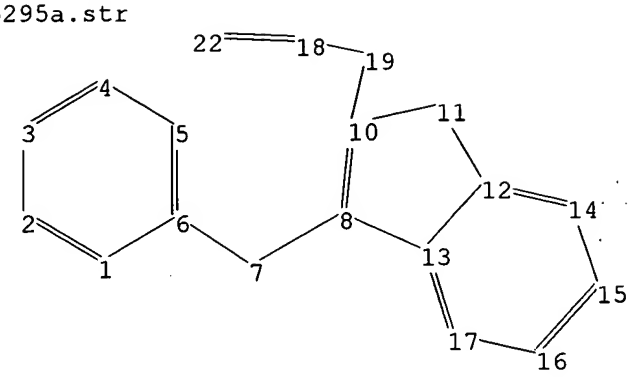

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chain bonds :
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exact bonds :
10-19 18-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-14 13-17 14-15 15-16 16-17

G1:O,S

G2:O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 22:CLASS

L7 STRUCTURE UPLOADED

L13 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:732561 HCAPLUS
DN 143:206389
TI SirT1-modulating heterocyclic compound antiviral therapeutics for treatment of **HIV**-mediated disorders
IN Distefano, Peter; Watson, Alan D.; Cannon, Edward L.; Navia, Manuel A.; Curtis, Rory; Geesaman, Bard J.
PA Elixir Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005072412	A2	20050811	WO 2005-US2897	20050131

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

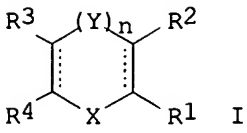
PRAI US 2004-540444P P 20040129
AB Heterocyclic compds., and methods of treating or preventing an **HIV**-mediated disorder by administering such compds., are described. Compds. of the invention modulate SirT1 activity.

L13 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:732559 HCAPLUS
DN 143:206388
TI Heterocyclic compounds for the treatment of a **HIV**-mediated disorder
IN Distefano, Peter; Watson, Alan D.; Cannon, L. Edward; Navia, Manuel A.; Curtis, Rory; Geesaman, Bard J.
PA Elixir Pharmaceuticals, Inc, USA
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005072408	A2	20050811	WO 2005-US2755	20050131

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2004-540429P P 20040129
US 2004-560484P P 20040407
GI



I

AB The invention provides heterocyclic compds. I (R1 and R2, together with the carbon atoms to which they are attached, form C5-10 cycloalkyl, C5-10 heterocyclyl, etc; R3 and R4, together with the carbon atoms to which they are attached, form C5-10 cycloalkyl, C6-10 aryl, etc; X = NR7, O, S; Y = NR7', O, S; R7, R7' = H, C1-6 alkyl, etc.; n = 0, 1) and methods for treating or preventing an **HIV**-mediated disorder by administering I. Compds. of the invention include e.g. tetrahydrocarbazole derivs. Compound preparation is included.

L13 ANSWER 3 OF 3 USPATFULL on STN
AN 2004:172850 USPATFULL
TI 3-Sulfenylation of indole-2-carboxylates
IN Wall Hamilton, Harriet, Chelsea, MI, UNITED STATES
Krasutsky, Alexei P., Zionsville, IN, UNITED STATES
Reed, Jessica, Ann Arbor, MI, UNITED STATES
Schlosser, Kevin, Ann Arbor, MI, UNITED STATES
PI US 2004133014 A1 20040708
AI US 2003-631268 A1 20030731 (10)
PRAI US 2002-400092P 20020731 (60)
DT Utility
FS APPLICATION
LREP Heidi M. Berven, Warner-Lambert Company, LLC, 2800 Plymouth Road, Ann Arbor, MI, 48105
CLMN Number of Claims: 50
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 876
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A highly efficient one-pot procedure for 3-sulfenilation of indole 2-carboxylates is described. Treatment of thiols with N-chlorosuccinimide at -78° C. in CH.sub.2Cl.sub.2 affords sulfenyl chlorides in situ that readily react with indole 2-carboxylates to give 3-thioindoles in high yields. This new method is milder, produces less waste, and is compatible with a wide range of thiol and indole functionality. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.